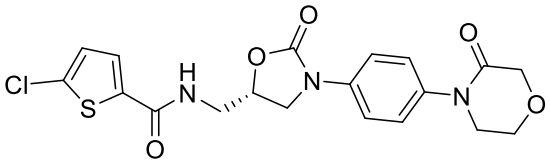
Product data sheet

MedKoo Cat#: 300310 Name: Rivaroxaban CAS#: 366789-02-8 Chemical Formula: $C_{19}H_{18}ClN_3O_5S$ Exact Mass: 435.06557 Molecular Weight: 435.88	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Rivaroxaban, also known as BAY 59-7939, is an oral anticoagulant invented and manufactured by Bayer; in a number of countries it is marketed as Xarelto. If approved by the United States FDA, it will be marketed by Ortho-McNeil Pharmaceutical. It is the first available orally active direct factor Xa inhibitor. Rivaroxaban is well absorbed from the gut and maximum inhibition of factor Xa occurs four hours after a dose. The effects lasts 8Â–12 hours, but factor Xa activity does not return to normal within 24 hours so once-daily dosing is possible.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50	114.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.29 mL	11.47 mL	22.94 mL
5 mM	0.46 mL	2.29 mL	4.59 mL
10 mM	0.23 mL	1.15 mL	2.29 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Perzborn E, Strassburger J, Wilmen A, Pohlmann J, Roehrig S, Schlemmer KH, Straub A. In vitro and in vivo studies of the novel antithrombotic agent BAY 59-7939--an oral, direct Factor Xa inhibitor. J Thromb Haemost. 2005 Mar;3(3):514-21. doi: 10.1111/j.1538-7836.2005.01166.x. PMID: 15748242.

2. Gnoth MJ, Buetehorn U, Muenster U, Schwarz T, Sandmann S. In vitro and in vivo P-glycoprotein transport characteristics of rivaroxaban. J Pharmacol Exp Ther. 2011 Jul;338(1):372-80. doi: 10.1124/jpet.111.180240. Epub 2011 Apr 22. PMID: 21515813.

In vivo study

1. Perzborn E, Strassburger J, Wilmen A, Pohlmann J, Roehrig S, Schlemmer KH, Straub A. In vitro and in vivo studies of the novel antithrombotic agent BAY 59-7939--an oral, direct Factor Xa inhibitor. J Thromb Haemost. 2005 Mar;3(3):514-21. doi: 10.1111/j.1538-7836.2005.01166.x. PMID: 15748242.

2. Gnoth MJ, Buetehorn U, Muenster U, Schwarz T, Sandmann S. In vitro and in vivo P-glycoprotein transport characteristics of rivaroxaban. J Pharmacol Exp Ther. 2011 Jul;338(1):372-80. doi: 10.1124/jpet.111.180240. Epub 2011 Apr 22. PMID: 21515813.

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7. Bioactivity

Biological target:

Rivaroxaban (BAY 59-7939) is a highly potent, selective and direct Factor Xa (FXa) inhibitor, achieving a strong gain in anti-FXa potency (IC50 0.7 nM; Ki 0.4 nM).

In vitro activity

Rivaroxaban (BAY 59-7939) is an oral, direct Factor Xa (FXa) inhibitor in development for the prevention and treatment of arterial and venous thrombosis. Rivaroxaban competitively inhibits human FXa (Ki 0.4 nM) with >10 000-fold greater selectivity than for other serine proteases; it also inhibits prothrombinase activity (IC50 2.1 nM). Rivaroxaban inhibits endogenous FXa more potently in human and rabbit plasma (IC50 21 nM) than rat plasma (IC50 290 nM). It demonstrates anticoagulant effects in human plasma, doubling prothrombin time (PT) and activates partial thromboplastin time at 0.23 and 0.69 μM, respectively.

Reference: J Thromb Haemost. 2005 Mar;3(3):514-21. https://doi.org/10.1111/j.1538-7836.2005.01166.x

In vivo activity

In vivo, Rivaroxaban (BAY 59-7939) reduced venous thrombosis (fibrin-rich, platelet-poor thrombi) dose dependently (ED(50) 0.1 mg kg(-1) i.v.) in a rat venous stasis model. BAY 59-7939 reduced arterial (fibrin- and platelet-rich) thrombus formation in an arteriovenous (AV) shunt in rats (ED(50) 5.0 mg kg(-1) p.o.) and rabbits (ED(50) 0.6 mg kg(-1) p.o.). Slight inhibition of FXa (32% at ED(50)) reduced thrombus formation in the venous model; to affect arterial thrombosis in the rat and rabbit, stronger inhibition of FXa (74%, 92% at ED(50)) was required. Calculated plasma levels in rabbits at the ED(50) were 14-fold lower than in the rat AV shunt model, correlating with the 14-fold lower IC(50) of FXa inhibition in rabbit compared with rat plasma; this may suggest a correlation between FXa inhibition and antithrombotic activity. Bleeding times in rats and rabbits were not significantly affected at antithrombotic doses (3 mg kg(-1) p.o., AV shunt).

Reference: J Thromb Haemost. 2005 Mar;3(3):514-21. https://doi.org/10.1111/j.1538-7836.2005.01166.x